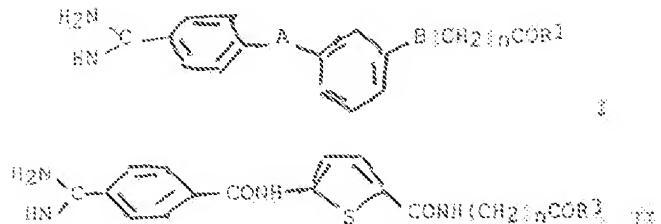


TI Preparation of benzimidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors
 ACCESSION NUMBER: 1894134060 CAPLUS
 DOCUMENT NUMBER: 120134060
 TITLE: Preparation of benzimidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors
 INVENTOR(S): Sato, Masakazu; Kawase, Masahiro; Mannaka, Akira;
 Kawashima, Yutaka; Matayama, Katsu
 PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
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 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230009	A2	19930907	JP 1992-35479	19920224
PRIORITY APPLN. INFO.:			JP 1992-35479	19920224
OTHER SOURCE(S):	MARPAT	120-134060		
GI				



AB The title derivs. I (A = CONH, CH2O; n = 1-3; R1 = OH, NH2, OCH2CONH2, lower alkoxy; R2 = lower alkyl; when A is CONH then B is CH2S or NHCO; when A is CH2O then B is CH2S, CONH, or NHCO), and their pharmaceutically acceptable salts are preparation. The title derivs. II (R3 =

OH, lower alkoxy; n = 1-3), and their pharmaceutically acceptable salts are preparation. A mixture of 13 g Me 3-[3-(4-cyanobenzoyloxy)benzamide]propionate, Et3N, and pyridine was treated with H2S at room temperature for 2 h, settled at room temperature for 16 h to give 12.4 g Me 3-[3-(4-aminothiocarbonylbenzoyloxy)benzamide]propionate, methylation of which gave 12 g Me 3-[3-(4-methylthiociminobenzoxy)benzamide]propionate-hydroindide (III). Refluxing a mixture of 16.8 g III, NH4MeCO2 in MeOH for 1 h gave 10 g Me 3-[3-(4-amidobenzoyloxy)benzamide]propionate-hydroindide, 3-[3-(4-Amidobenzamide)thiophen-2-yl]carbonylamino[propionic acid]-hydrochloride showed PAF (platelet-activating factor) inhibition in dog with an IC50 of 0.16 μm.

IT 153038-93-8P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent)
 (preparation and reaction of, in benzimidines manufacture)

RN 153038-93-8 CAPLUS

CN D-Alanine, N-[(5-((4-cyanobenzoyl)amino)-2-thienyl)carbonyl]methyl ester (SCI) (CA INDEX NAME)

